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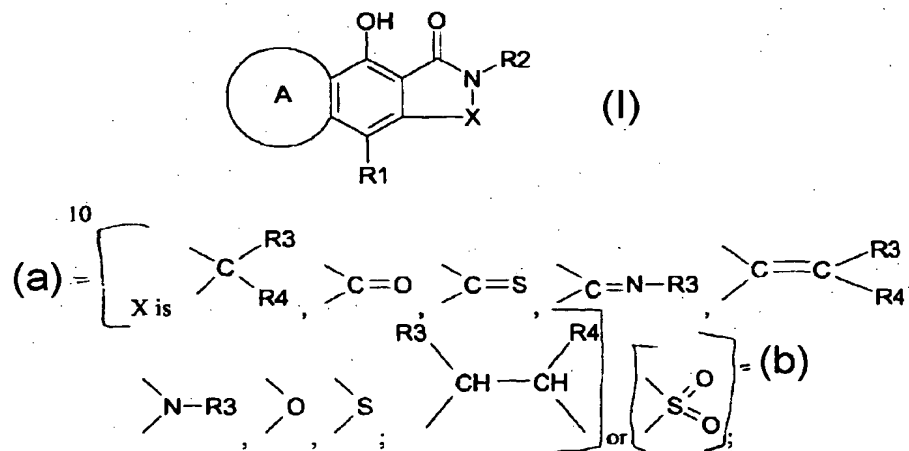
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(54) Title: HIV INTEGRASE INHIBITORS



(57) Abstract: The present invention concerns the compounds having the formula (1), N-oxides, salts, stereoisomeric forms, racemic mixtures, prodrugs, esters and metabolites thereof wherein (a) or (b); A, together with the two carbons of the phenyl ring to which it is attached forms a monocyclic aryl or a monocyclic Het<sup>2</sup>; R<sup>1</sup> is hydrogen, halo, nitro, cyano, sultam, sultim, C<sub>3-7</sub>-cycloalkyl, C(=O)-R<sup>5</sup>, S(=O)<sub>2</sub>-R<sup>6</sup>, OR<sup>7</sup>, NR<sup>8</sup>R<sup>9</sup>, C(=NR<sup>8</sup>)-R<sup>5</sup>, optionally polysubstituted C<sub>1-6</sub>alkyl, optionally polysubstituted C<sub>2-6</sub>alkenyl or optionally polysubstituted C<sub>2-6</sub>alkynyl; R<sup>2</sup> is hydrogen, C<sub>3-7</sub>-cycloalkyl, aryl, Het<sup>1</sup>, Het<sup>2</sup>, C(=O)-R<sup>5</sup>, S(=O)<sub>2</sub>-R<sup>6</sup> OR<sup>7</sup>, NR<sup>8</sup>R<sup>9</sup>, C(=NR<sup>8</sup>)-R<sup>5</sup>, or optionally polysubstituted C<sub>1-6</sub>alkyl, optionally polysubstituted C<sub>2-6</sub>alkenyl or optionally polysubstituted C<sub>2-6</sub>alkynyl. It further relates to their use as HIV integrase inhibitors, processes for their preparation as well as pharmaceutical compositions and diagnostic kits comprising them. It also concerns combinations thereof with other anti-retroviral agents, and to their use in assays as reference compounds or as reagents.



GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

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- as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii)) for the following designations AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,

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EPO-Internal, WPI Data, CHEM ABS Data, BEILSTEIN Data

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	HARTMANN K-H ET AL: "Preparation of naphthoquinone imines as NIR dyes" TETRAHEDRON, ELSEVIER SCIENCE PUBLISHERS, AMSTERDAM, NL, vol. 51, no. 16, 17 April 1995 (1995-04-17), pages 4655-4664, XP004221922 ISSN: 0040-4020 Table 2: compounds 12-17 ----- -/-	3

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	KAPPE C O ET AL: "Generation and Subsequent Cycloaddition Chemistry of alpha-Amino Isobenzofurans Formed by Cationic Cyclization" TETRAHEDRON LETTERS, ELSEVIER SCIENCE PUBLISHERS, AMSTERDAM, NL, vol. 36, no. 51, 18 December 1995 (1995-12-18), pages 9285-9288, XP004026736 ISSN: 0040-4039 Compounds 9a, 16a, 16b,	3
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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT		
Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
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